## WHAT IS CLAIMED IS:

1. A process for preparing a compound of formula (IA):

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wherein R1 and R2 are each selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and

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(4)  $-(CH_2)_n$  -phenyl

wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy, C<sub>1-6</sub> alkyl or C<sub>1-6</sub> alkoxy;

X is selected from the group consisting of

- (1) halogen, and
- 15 (2) hydrogen; and

pharmaceutically acceptable salts thereof,

comprising:

(A) oxidizing a compound of formula (II):

- 20 wherein R<sup>3</sup> is selected from the group consisting of
  - (1)-OH,
  - (2) -O-Ra, and
  - (3) -NRbRc,

wherein Ra is selected from the group consisting of

- (a)  $C_{1-10}$  alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i)  $C_{1-10}$  alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

- (a) halogen
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when R<sup>b</sup>, ,R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii) C<sub>1-10</sub> alkoxy,
  - (iii) SRd,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
  - (vi) NRgRh;
     wherein Rg and Rh are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;
     or Rb and RC, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii)  $C_{1-10}$  alkoxy,
- (iii) SRd,

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(iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NRgRh,

Rd is hydrogen or C1-10 alkyl; and

R4 is selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
- (4)  $C(=O)-R^{12}$ ,
- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6)  $(CH_2)_p$ -O- $(CH_2)_q$  -X'-R<sup>14</sup>,
- (7) tetrahyropyranyl,

wherein  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each  $C_{1-10}$  alkyl or phenyl, and  $R^{14}$  is selected from the group consisting of

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- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

q is an integer selected from 1-10; and

X' is O or a bond;

to form a compound of formula (IV):

(B) deprotecting the compound of formula (IV) to form a compound of formula (V):

(C) reacting the compound of formula (V) with a compound of formula (VI):

$$R^5$$
  $R^6$  (VI)

- 5 wherein R5 and R6 are each independently selected from the group consisting of
  - (1) hydrogen,
  - (2) C<sub>1-10</sub> alkyl,
  - (3) C3-8 cycloalkyl, and
  - (4) (CH<sub>2</sub>)<sub>m</sub> phenyl,
- wherein m is 0, 1 or 2, and

R7 is selected from the group consisting of

- (1) hydrogen, and
- (2) Si-(R<sup>9</sup>)(R<sup>10</sup>)(R<sup>11</sup>), wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl;

to give a compound of formula (VII):

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(D) oxidizing the compound of formula (VII) to give a compound of formula (VIII):

$$R^{5}$$
 $H$ 
 $X$ 
 $COR^{3}$ 
 $H$ 
 $COR^{3}$ 

(E) converting the compound of formula (VIII) to a compound of formula (IX):

$$R^{5}$$
 $O$ 
 $H$ 
 $X$ 
 $CONH_{2}$ 
 $CN$ 
 $H_{2}N$ 
 $CONH_{2}$ 

and (F) converting the compound of formula (IX) to the compound of formula (IA).

- 2. The process of Claim 1 wherein R5 and R6 are methyl.
- 3. The process of Claim 1 wherein R<sup>5</sup> and R<sup>6</sup> are phenyl.
- 4. The process of Claim 1 wherein R<sup>3</sup> is methoxy.
- 10 5. The process of Claim 1 wherein  $R^1$  and  $R^2$  are hydrogen.
  - 6. The process of Claim 1 wherein R<sup>7</sup> is trimethylsilyl.
- The process of Claim 1 wherein X is hydrogen.

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- 8. The process of Claim 1 wherein X is fluoro.
- 9. The process of Claim 1 wherein R<sup>4</sup> is tert butyldimethylsilyl.
- 10. A process for preparing a compound of formula (IA):

25 wherein  $R^1$  and  $R^2$  are each selected from the group consisting of (1) hydrogen,

- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) –(CH<sub>2</sub>)<sub>n</sub> –phenyl

wherein n is 1 or 2, and said alkyl, cycloalkyl and phenyl are unsubstituted or substituted with one or more halogen, hydroxy,  $C_{1-6}$  alkyl or  $C_{1-6}$  alkoxy;

X is selected from the group consisting of

(1) halogen, and

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(2) hydrogen; and

pharmaceutically acceptable salts thereof;

10 comprising converting the compound of formula (IX):

$$R^{5}$$
 $H_{2}N$ 
 $CONH_{2}$ 
 $(IX)$ 

wherein R5 and R6 are each independently selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4)  $(CH_2)_m$ -phenyl,

wherein m is 0, 1 or 2,

to the compound of formula (IA).

- 11. The process of Claim 10 wherein R<sup>5</sup> and R<sup>6</sup> are methyl.
  - 12. The process of Claim 10 wherein R<sup>5</sup> and R<sup>6</sup> are phenyl.

13. The process of Claim 10 wherein X is fluoro.

14. The process of Claim 10 wherein X is hydrogen.

15. A process for preparing a compound of formula (II):

wherein R3 is selected from the group consisting of

- (1) -OH,
- 5 (2) -O-Ra, and

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 $(3) - NR^bR^c$ ,

wherein Ra is selected from the group consisting of

- (a) C1-10 alkyl, and
- (b) C3-8 cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> or R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl

and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

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(v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and

(vi) NRgRh;

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wherein Rg and Rh are hydrogen, C1-10 alkyl or C3-8 cycloalkyl;

or Rb and RC, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vi) NRgRh,

Rd is hydrogen or C1-10 alkyl;

- 20 X is selected from the group consisting of
  - (1) halogen, and
  - (2) hydrogen;

R4 is selected from the group consisting of

- (1) hydrogen,
- (2)  $C_{1-10}$  alkyl,
- (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
- (4)  $C(=O)-R^{12}$ ,
- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6)  $(CH_2)_p$ -O- $(CH_2)_q$  –X'-R<sup>14</sup>,
- (7) tetrahyropyranyl,

wherein  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each  $C_{1-10}$  alkyl or phenyl, and  $R^{14}$  is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

comprising:

(A) converting a compound of formula (X):

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to a compound of formula (XI):

- and (B) reacting a compound of formula (XI) with a base in the presence of a Lewis acid to give a compound of formula (II).
  - 16. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises the step of subjecting a compound of formula (X) to epoxidation in the presence of a peroxide source and a catalytic amount of VO(acac)<sub>2</sub>.
  - 17. The process of Claim 5 wherein the conversion of a compound of formula (X) to a compound of formula (XI) comprises treating the compound of formula (X) with a halogenating agent, followed by treatment with a base.

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18. The process of Claim 15 wherein X is fluoro.

- 19. The process of Claim 15 wherein X is hydrogen.
- 20. The process of Claim 5, further comprising the step of oxidizing the compound of formula (II) to form a compound of formula (IV)

- 10 21. The process of Claim 20 wherein X is fluoro.
  - 22. The process of Claim 20 wherein X is hydrogen.
  - 23. A process for preparing a compound of formula (XII)

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wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-Ra, and
- $(3) NR^bR^c$ ,

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wherein Ra is selected from the group consisting of

- (a)  $C_{1-10}$  alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i)  $C_{1-10}$  alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,

PCT/US2004/036574 WO 2005/047215

aryl, unsubstituted or substituted with one or more hydroxy, C1-10 (v) alkoxy, C1-10 alkyl or halogen, heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> (vi) alkoxy, C1-10 alkyl or halogen, and NReRf: (vii) Rb, Rc, Re and Rf are selected from the group consisting of hydrogen, (a) (b) C<sub>1-10</sub> alkyl, and (c) C3-8 cycloalkyl, and when Rb, Rc, Re and Rf are C1-10 alkyl or C3-8 cycloalkyl, said C1-10 alkyl and C3-8 cycloalkyl are unsubstituted or substituted with one or more hydroxy, (i) (ii) C<sub>1-10</sub> alkoxy, SRd. (iii) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> 15 (iv) alkoxy, C1-10 alkyl or halogen, and (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and NRgRh; (vi) 20 wherein RB and Rh are hydrogen, C1-10 alkyl or C3-8 cycloalkyl; or Rb and Rc, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NRbRC group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- SRd. (iii)

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- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C1-10 alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C1-10 alkyl or halogen, and

## (vi) NRgRh,

Rd is hydrogen or C1-10 alkyl;

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

comprising:

(A) converting a compound of formula (II)

- wherein R4 is selected from the group consisting of
  - (1) hydrogen,
  - (2)  $C_{1-10}$  alkyl,
  - (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
  - (4)  $C(=0)-R^{12}$ ,

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- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6)  $(CH_2)_p$ -O- $(CH_2)_q$  -X'-R<sup>14</sup>,
- (7) tetrahyropyranyl,

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wherein  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each  $C_{1-10}$  alkyl or phenyl, and  $R^{14}$  is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

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q is an integer of from 1-10; and

X' is O or a bond;

to a compound of formula (XIII)

wherein R<sup>8</sup> is selected from the group consisting of

- (1) halogen, and
- (2) O-SO<sub>2</sub>-R<sup>12</sup> wherein R<sup>12</sup> is selected from the group consisting of
- (a) C<sub>1-10</sub> alkyl,
  - (b) C<sub>1-10</sub> perfluoroalkyl, or
  - (c) phenyl which is substituted or unsubstituted with one or more substituents selected from the group consisting of nitro, halogen,  $C_{1-10}$  alkyl, or  $C_{1-10}$  alkoxy,
- (B) removing R4 to form a compound of formula (XIV)

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and (C) oxidizing the compound of formula (XIV) to form the compound of formula (XII).

24. The process of claim 23 wherein R<sup>3</sup> is methoxy.

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25. A process for preparing a compound of formula (XII')

- 20 wherein R3 is selected from the group consisting of
  - (1) OH,
  - (2) -O-R $^a$ , and
  - $(3) NR^bR^c$

wherein Ra is selected from the group consisting of

25 (a) C<sub>1-10</sub> alkyl, and

(b) C<sub>3-8</sub> cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vii) NReRf;

Rb, and Rc, Re and Rf are selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl,
  and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub>
  alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii)  $C_{1-10}$  alkoxy,
  - (iii) SRd,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen,
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (vi) NRgRh;

wherein Rg and Rh are selected from the group consisting of hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl;

Rd is hydrogen or C<sub>1-10</sub> alkyl;

or Rb and Rc, together with the N atom to which they are attached, form a group

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wherein r is 1 or 2, and the NRbRC group may be unsubstituted or substituted at the ring

carbon atoms by one or more hydroxy, (i) C<sub>1-10</sub> alkoxy, (ii) SRd. 5 (iii) aryl, unsubstituted or substituted with one or more hydroxy, C1-10 alkoxy, C1-(iv) 10 alkyl or halogen, and heteroaryl, unsubstituted or substituted with one or more hydroxy, C1-10 alkoxy, (v) C<sub>1-10</sub> alkyl or halogen, and NRgRh, 10 (vi) X is selected from the group consisting of (1) halogen, and (2) hydrogen; and 15 R4 is selected from the group consisting of (1) hydrogen, (2)  $C_{1-10}$  alkyl,  $(3) Si-(R^9)(R^{10})(R^{11}),$ (4)  $C(=0)-R^{12}$ , 20 (5) CH2-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C1-10 and C1-10 alkoxy, (6)  $(CH_2)_p$ -O- $(CH_2)_q$ -X'-R<sup>14</sup>, (7) tetrahyropyranyl, wherein R9, R10 and R11 are each C1-10 alkyl or phenyl, and R14 is selected from the group 25 consisting of (a) hydrogen, (b) C<sub>1-10</sub> alkyl; p is 1 or 2; 30 q is an integer of from 1-10; and X' is O or a bond;

comprising converting a compound of formula (IV)

$$O = \bigcup_{i=1}^{H} X_{i=1}^{X} COR^3$$
 (IV)

to a compound of formula (XII').

## 26. A compound of formula (VII):

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wherein R<sup>3</sup> is selected from the group consisting of

- (1)-OH,
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- (2) -O-Ra, and
- $(3) NR^bR^c$ ,

wherein Ra is selected from the group consisting of

- (a)  $C_{1-10}$  alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

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and Ra is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,

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- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

WO 2005/047215

PCT/US2004/036574

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when Rb, Rc, Re and Rf are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii) C<sub>1-10</sub> alkoxy,
  - (iii) SRd,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
  - (vii) NRgRh;

wherein Rg and Rh are selected from the group consisting of hydrogen, C1-10 alkyl or C3-8 cycloalkyl

Rd is hydrogen or C<sub>1-10</sub> alkyl;

or Rb and RC, together with the N atom to which they are attached, form a group



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wherein r is 1 or 2, and the  $NR^bR^c$  group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii)  $C_{1-10}$  alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NRgRh,

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R<sup>5</sup> and R<sup>6</sup> are independently selected from the group consisting of

(1) hydrogen,

WO 2005/047215

PCT/US2004/036574

- (2) C<sub>1-10</sub> alkyl,
- (3) C<sub>3-8</sub> cycloalkyl, and
- (4) (CH2)<sub>m</sub>-phenyl,

wherein m is 0, 1 or 2; and

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X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

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27. A compound of formula (VIII):

wherein R3 is selected from the group consisting of

- (1) OH,
- (2) -O-R $^a$ , and
- 15 (3) –NRbRc,

wherein R<sup>2</sup> is selected from the group consisting of

- (a) C1-10 alkyl, and
- (b) C3-8 cycloalkyl,

and Ra is unsubstituted or substituted with one or more

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- (i)  $C_{1-10}$  alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub>

alkoxy, C<sub>1-10</sub> alkyl or halogen,

- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

(a) hydrogen,

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- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii) C<sub>1-10</sub> alkoxy,
  - (iii) SRd,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
  - (vi) NRgRh;

wherein Rg and  $R^h$  are hydrogen,  $C_{1-10}$  alkyl or  $C_{3-8}$  cycloalkyl;

Rd is hydrogen or C<sub>1-10</sub> alkyl;

or Rb and RC, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NRgRh,
- 30 R5 and R6 are independently selected from the group consisting of
  - (1) hydrogen,
  - (2) C<sub>1-10</sub> alkyl,
  - (3) C<sub>3-8</sub> cycloalkyl, and

(4) (CH<sub>2</sub>)<sub>m</sub> phenyl,

wherein m is 0, 1 or 2; and

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

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28. A compound of formula (IX):

$$R^{5}$$
 $H_{2}N$ 
 $CN$ 
 $(IX)$ 

- wherein R5 and R6 are independently selected from the group consisting of
  - (1) hydrogen,
  - (2) C<sub>1-10</sub> alkyl,
  - (3) C3-8 cycloalkyl, and
  - (4) (CH<sub>2</sub>)<sub>m</sub>-phenyl,
- wherein m is 0, 1 or 2; and

X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

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29. A compound of formula (XA):

wherein R3 is selected from the group consisting of

25 (1) -OH,

(2) -O-R $^a$ , and

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 $(3) - NR^bR^c$ 

wherein Ra is selected from the group consisting of

- (a) C1-10 alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i) C<sub>1-10</sub> alkoxy,
- (ii) hydroxy,
- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii) C<sub>1-10</sub> alkoxy,
  - (iii) SRd,
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (vi) NRgRh;

wherein Rg and Rh are hydrogen, C1-10 alkyl or C3-8 cycloalkyl;

or Rb and RC, together with the N atom to which they are attached, form a group



WO 2005/047215

PCT/US2004/036574

wherein r is 1 or 2, and the NRbRc group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
- (vi) NRgRh,

Rd is hydrogen or C1-10 alkyl;

and salts thereof.

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30. A compound of formula (XI):

$$COR^3$$
 (XI)

wherein R<sup>3</sup> is selected from the group consisting of

- (1) -OH,
- (2) -O-R $^a$ , and
- 20 (3) –NRbRc,

wherein Ra is selected from the group consisting of

- (a) C1-10 alkyl, and
- (b) C3-8 cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- $C_{1-10}$  alkoxy,
  - (ii) hydroxy,
  - (iii) halogen,
  - (iv) SRd,
  - (v) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen,

heteroaryl, unsubstituted or substituted with one or more hydroxy, C1-10 (vi) alkoxy, C1-10 alkyl or halogen, and i NReRf; (vii) Rb, Rc, Re and Rf are selected from the group consisting of 5 (a) hydrogen, (b) C<sub>1-10</sub> alkyl, and (c) C<sub>3-8</sub> cycloalkyl, and when Rb, Rc, Re and Rf are C1-10 alkyl or C3-8 cycloalkyl, said C1-10 alkyl and C3-8 cycloalkyl are unsubstituted or substituted with one or more hydroxy, (i) 10 (ii) C<sub>1-10</sub> alkoxy, (iii) aryl, unsubstituted or substituted with one or more hydroxy, C1-10 (iv) alkoxy, C1-10 alkyl or halogen, and heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> 15 (v) alkoxy, C<sub>1-10</sub> alkyl or halogen, and NRgRh: (vi) wherein Rg and Rh are hydrogen, C1-10 alkyl or C3-8 cycloalkyl; or Rb and RC, together with the N atom to which they are attached, form a group 20 wherein r is 1 or 2, and the NRbRc group may be unsubstituted or substituted at the ring carbon atoms by one or more hydroxy, (i) C<sub>1-10</sub> alkoxy, 25 (ii) (iii) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> (iv) alkoxy, C1-10 alkyl or halogen, and heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> (v) alkoxy, C<sub>1-10</sub> alkyl or halogen, and 30 NRgRh, (vi)

Rd is hydrogen or C1-10 alkyl;

R4 is selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
- (4)  $C(=O)-R^{12}$ ,
- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6)  $(CH_2)_p$ -O- $(CH_2)_q$ -X'-R<sup>14</sup>,
- (7) tetrahyropyranyl, wherein  $R^9$ ,  $R^{10}$  and  $R^{11}$  are each  $C_{1-10}$  alkyl or phenyl, and  $R^{14}$  is selected from the group consisting of

(a) hydrogen,

(b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

20 X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen;

and salts thereof.

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25 31. A compound of formula (IVA):

wherein X is selected from the group consisting of

- (1) halogen, and
- (2) hydrogen; and
- 30 R<sup>4</sup> is selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- $(3) Si-(R^9)(R^{10})(R^{11}),$
- (4)  $C(=0)-R^{12}$ ,
- (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
- (6)  $(CH_2)_p$ -O- $(CH_2)_q$  -X'-R<sup>14</sup>, and
- (7) tetrahyropyranyl,

wherein R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> are each C<sub>1-10</sub> alkyl or phenyl, and R<sup>14</sup> is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

and salts thereof.

32. A compound of formula (II):

HO IIIII X IIII COR3

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wherein R3 is selected from the group consisting of

- (1) OH,
- (2) -O-Ra, and
- (3) -NRbRc.

wherein Ra is selected from the group consisting of

- (a) C1-10 alkyl, and
- (b) C<sub>3-8</sub> cycloalkyl,

and Ra is unsubstituted or substituted with one or more

- (i)  $C_{1-10}$  alkoxy,
- (ii) hydroxy,

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- (iii) halogen,
- (iv) SRd,
- (v) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen,
- (vi) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and
- (vii) NReRf;

Rb, Rc, Re and Rf are selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl, and
- (c) C<sub>3-8</sub> cycloalkyl, and when R<sup>b</sup>, R<sup>c</sup>, R<sup>e</sup> and R<sup>f</sup> are C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl, said C<sub>1-10</sub> alkyl and C<sub>3-8</sub> cycloalkyl are unsubstituted or substituted with one or more
  - (i) hydroxy,
  - (ii)  $C_{1-10}$  alkoxy,
  - (iii) SRd.
  - (iv) aryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (v) heteroaryl, unsubstituted or substituted with one or more hydroxy, C<sub>1-10</sub> alkoxy, C<sub>1-10</sub> alkyl or halogen, and
  - (vi) NRgRh;

wherein Rg and Rh are hydrogen, C<sub>1-10</sub> alkyl or C<sub>3-8</sub> cycloalkyl; or Rb and Rc, together with the N atom to which they are attached, form a group



wherein r is 1 or 2, and the NR<sup>b</sup>R<sup>c</sup> group may be unsubstituted or substituted at the ring carbon atoms by one or more

- (i) hydroxy,
- (ii) C<sub>1-10</sub> alkoxy,
- (iii) SRd,
- (iv) aryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

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(v) heteroaryl, unsubstituted or substituted with one or more hydroxy,  $C_{1-10}$  alkoxy,  $C_{1-10}$  alkyl or halogen, and

(vi) NRgRh,

5 Rd is hydrogen or C<sub>1-10</sub> alkyl;

R4 is selected from the group consisting of

- (1) hydrogen,
- (2) C<sub>1-10</sub> alkyl,
- (3)  $Si-(R^9)(R^{10})(R^{11})$ ,
- 10 (4)  $C(=O)-R^{12}$ ,
  - (5) CH<sub>2</sub>-phenyl, wherein said phenyl is unsubstituted or substituted with one or more substituents selected from the group consisting of nitro, halogen, C<sub>1-10</sub> alkyl and C<sub>1-10</sub> alkoxy,
  - (6)  $(CH_2)_p$ -O- $(CH_2)_q$ -X'-R<sup>14</sup>, and
- 15 (7) tetrahydropyranyl,

wherein R9, R10 and R11 are each C1-10 alkyl or phenyl, and

R14 is selected from the group consisting of

- (a) hydrogen,
- (b) C<sub>1-10</sub> alkyl,

20 p is 1 or 2;

q is an integer of from 1-10; and

X' is O or a bond;

X is selected from the group consisting of

- (1) halogen, and
- 25 (2) hydrogen;

and salts thereof.

33. A compound which is:

34. A polymorphic form of the compound of Claim 34 wherein the polymorphic form has a d-spacing determined by x-ray powder diffraction, CuK alpha, of about 5.37 angstroms.

- 35. The polymorphic form of Claim 35, which has at least one additional d-spacing determined by x-ray powder diffraction, CuK alpha, of about 4.52, 4.05, 3.84, 3.37, 2.96, 2.73, 2.67, 2.59 or 2.42 angstroms.
  - 36. A polymorphic form of the compound of Claim 34, wherein the polymorphic form has a Differential Scanning Calorimetry extrapolated onset melting temperature of about 184°C.